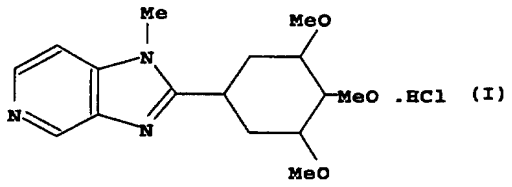
98-493828/42 B02 **DONM= 85.05.11**
DON MED INST *SU 1282506-A1
85.05.11 85SU-3911113 *(97.12.10)* C07D 471/04, A61K 31/395
2-(3',4',5'-tri:methoxy:phenyl)-1-methyl:imidazo(4,5-c)pyridine hydrochloride - has spasmolytic and hypotensive activity
C98-148656
Addnl. Data: YUTILOV YU M, SHCHERBINA L I, FILIPPOV I T
AS UKR PHYS ORG CHEM COAL CHEM INST (AUPH=)

B(6-D8, 14-F2B, 14-J5D) .3

2-(3',4',5'-trimethoxyphenyl)-1-methylimidazo(4,5-c)pyridine hydrochloride of formula (I) is new.



USE

(I) has spasmolytic and hypotensive activity.

ADVANTAGE

(I) has fairly low toxicity and higher spasmolytic and hypotensive activity than dibazol.

PREPARATION

(I) is prepared by heating equimolar proportions of 4-methylamino-3-aminopyridine, 3,4,5-trimethoxybenzaldehyde, and S, in xylene.

EXAMPLE

Equimolar proportions of 4-methylamino-3-aminopyridine, 3,4,5-trimethoxybenzaldehyde, and S, were heated in xylene at the boiling point of the reaction mixture over 35 hours, 1 part by weight of S to 20 ml of xylene. The deposit was cooled, filtered off, and mixed with a 10% HCl solution, heated, filtered free from unreacted S, to give the cream coloured hydrochloride of (I), yield 80%, m.pt. 240-241°C.

SU 1282506-A+

BIOLOGICAL DATA

The LD_{50} of (I) i.p. in mice was 200±40 mg/kg compared with 200 mg/kg for dibazol. The spasmolytic activity of (I) at pA_2 = 4.8±0.15 was double that for dibazol at 4.4±0.2. The hypotensive action of (I) at a dose of 3 mg/kg was similar to that of dibazol but the duration of its action was longer.

(3pp2401DwgNo.0/0)

SU 1282506-A